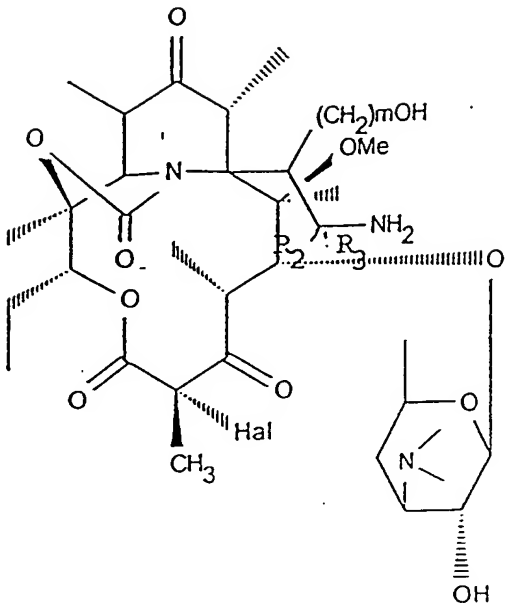

VI

A7

where the substituents are defined as in claim 12.

REMARKS

The amendment is being submitted to correct the formulae in the specification and claims to provide proper basis for the structure of Formula I. The amendment does not raise new issues but corrects an inadvertent error in the formulae.

Respectfully submitted,
Bierman, Muserlian and Lucas

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CAM:ds
Enclosures

146.1327-CON

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Charles A. Muserlian, Reg. No. 19,683

Date: February 13, 2002

Marked up version of Page 1 of specification

--PRIOR APPLICATION

This application is a continuation of U.S. patent application Serial No. 09/416,022 filed October 8, 1999.--

2-HALOGENATED DERIVATIVES OF 5-O-DESOSAMINYL-ERYTHRONOLIDE A, THEIR PREPARATION PROCESS AND THEIR ANTIBIOTIC USE

SUMMARY OF THE INVENTION

Novel 2-halogenated derivatives of 5-O-desosaminyl-erythronolide A and their use.

OBJECTS OF THE INVENTION

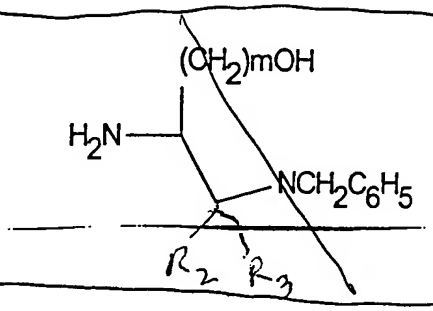
It is an object of the invention to provide the novel compounds of formula I and their acid addition salts and a process for their preparation.

It is another object of the invention to provide novel antibiotic compositions and a method of treating bacterial infections in warm-blooded animals.

These and other objects and advantages of the invention will become obvious from the following detailed description.

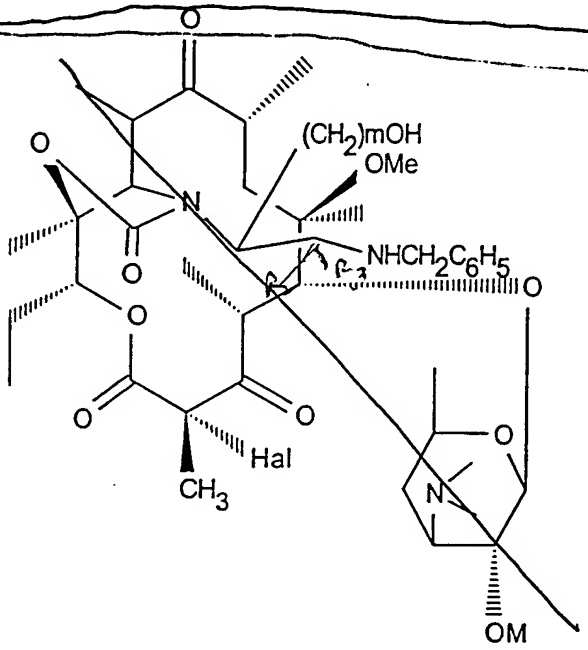
THE INVENTION

The novel products of the invention are compounds selected from the group consisting of a compound of the formula



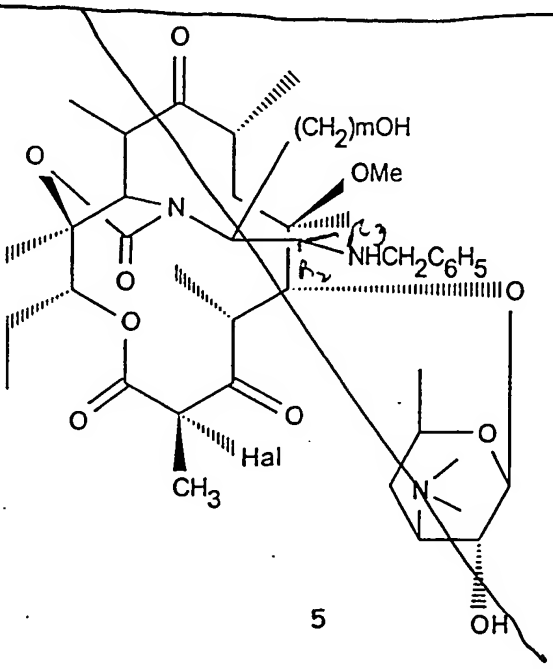
III

wherein m is an integer from 1 to 8 to obtain a compound of the formula



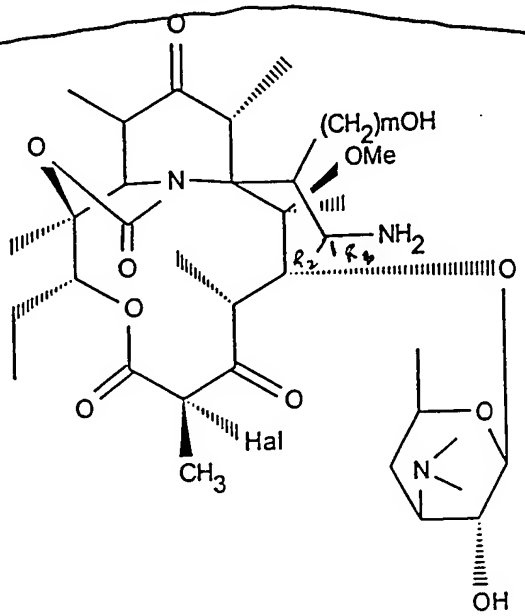
IV

deprotecting the 2'-hydroxyl to obtain a compound of the formula



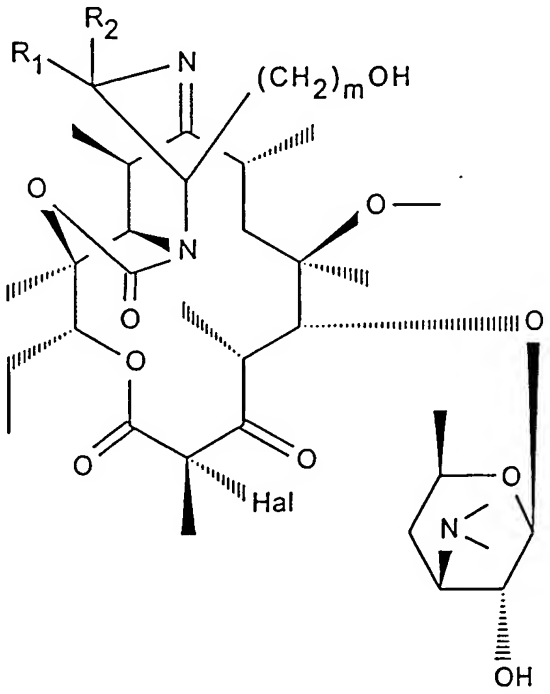
V

reacting the latter with a debenzylating agent to obtain a compound of the formula



VI

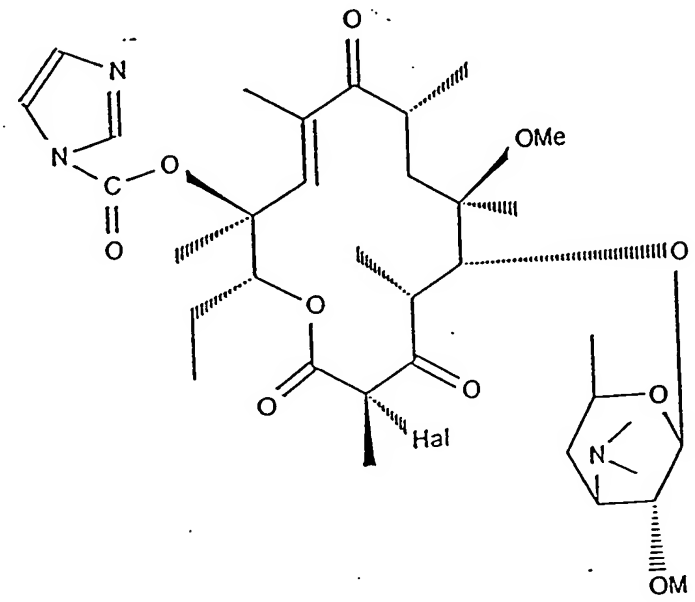
reacting the latter with a cyclization agent to form a compound of the formula



IA

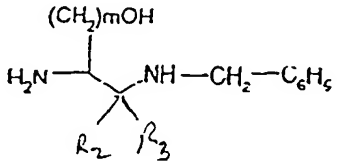
in warm-blooded animals comprising administering to warm-blooded animals in need thereof an antibiotically effective amount of a compound of claim 7.

Claim 12 (amended) A process for the preparation of a compound of claim 1 comprising reacting a compound of the formula



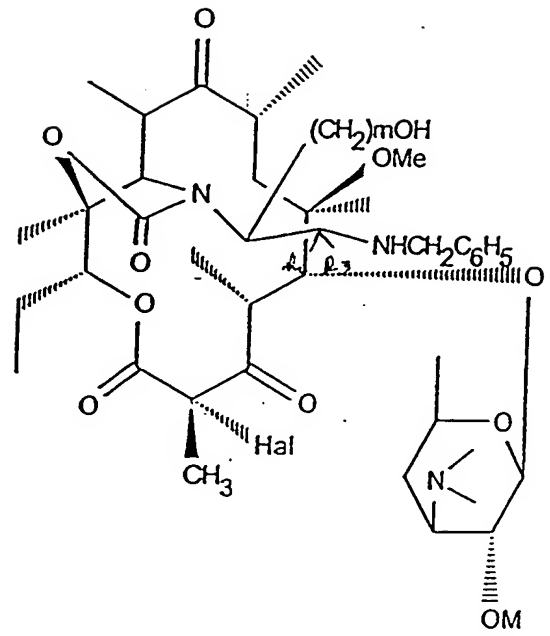
II

wherein Hal is halogen and OM is a protected hydroxyl with a compound of the formula



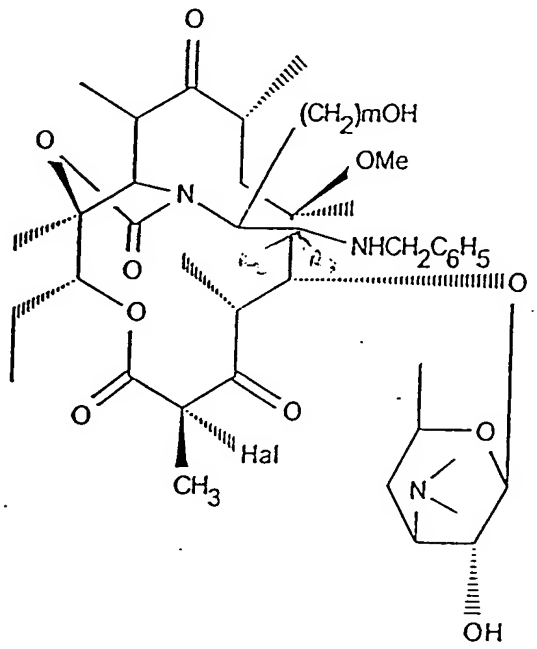
III

wherein m is an integer from 1 to 8 to obtain a compound of the formula



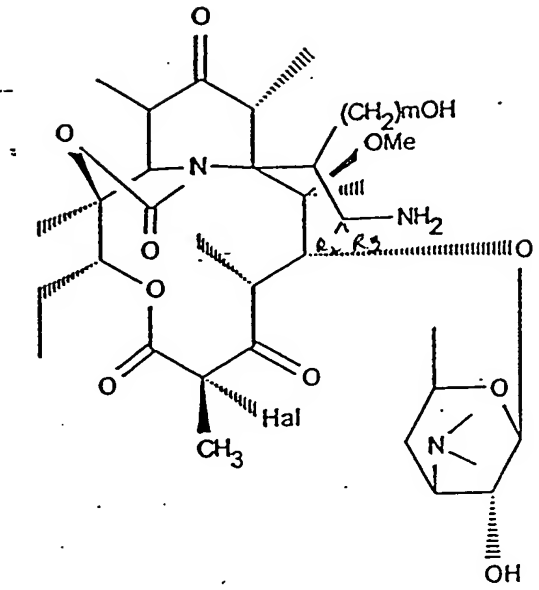
IV

deprotecting the 2'-hydroxyl to obtain a compound of the formula



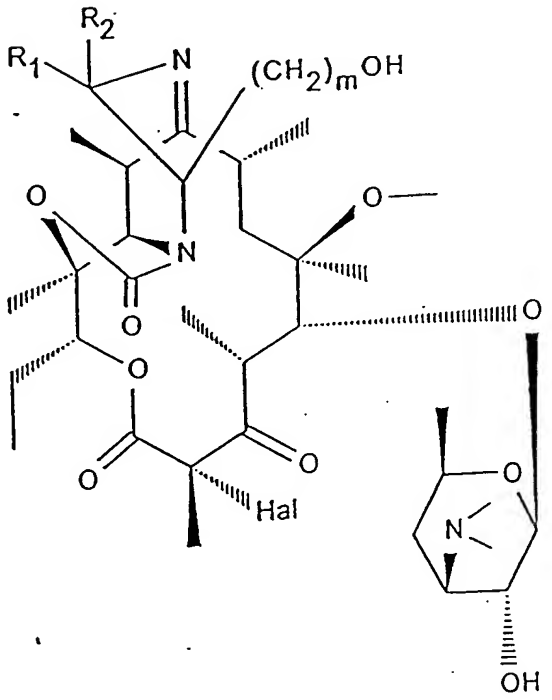
V

reacting the latter with a debenzylating agent to obtain a compound of the formula



VI

reacting the latter with a cyclization agent to form a compound of the formula



IA

corresponding to a compound of Formula I of claim 1 wherein R is -$(CH_2)_m$-OH and optionally subjecting the latter to an aralkylating or acylating agent to obtain a compound of Formula I of claim 1

wherein B is $-(CH_2)_n$-Ar or $-\overset{O}{\overset{\|}{C}}$-Ar.

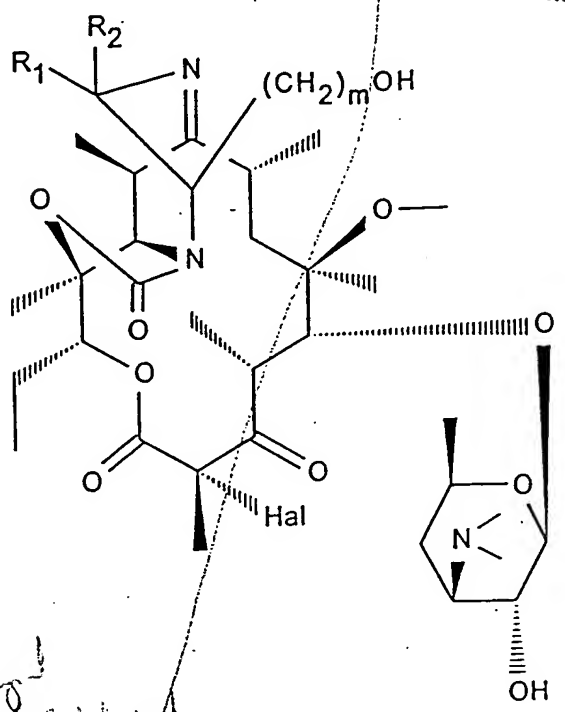
REMARKS

Reconsideration of this application is requested in view of the amendments to the specification and claims and the remarks presented herein.

The claims in the application are claims 1 to 4 and 6 to 13, all other claims having been cancelled. It is noted that claims 6 and 13 were deemed to be drawn to allowable subject matter. The claims have now been renumbered consecutively with the specification.

Claims 1 to 4 and 7 to 12 were rejected under 35 USC 112, second paragraph as being indefinite for the reasons set out in paragraphs a to g of the office action.

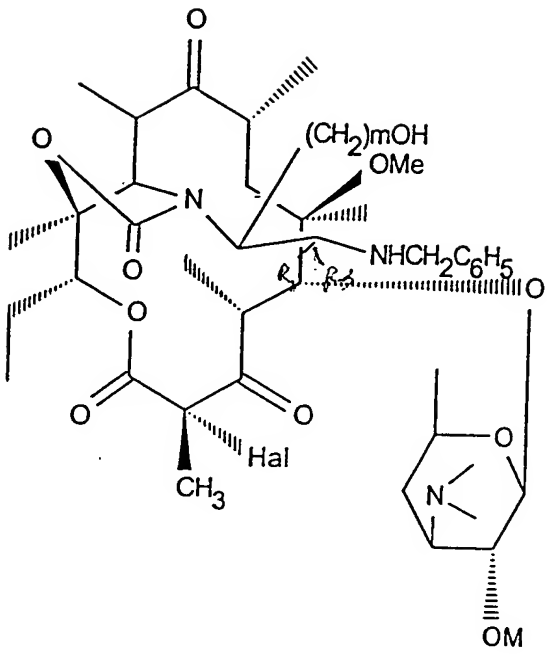
Applicants respectfully traverse these grounds of rejection since the amended claims are believed to comply with 35 USC 112. With respect to objection a, the definition of b has been corrected to insert an "OR" at the appropriate place. Claim 7 has been amended to insert the appropriate parenthesis and to correct the typographical error with respect to the hydroxymethyl substituent which should have been "18-hydroxymethyl substituent" and not "17" as can be seen from Example 2. Claims 10 and 11 have been amended



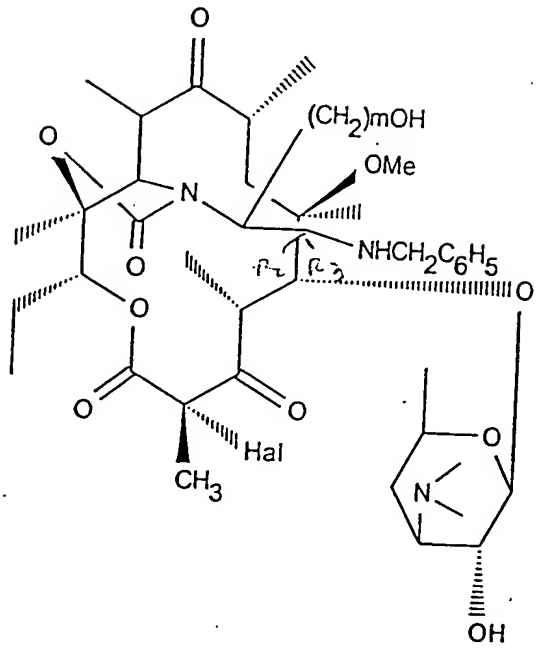
IA

wherein R is $-(CH_2)_m-OH$ and optionally subjecting the latter to an aralkylating or acylating agent to obtain a compound of claim 1 wherein B is ~~$-(CH_2)_n-Ar$~~ or ~~$-\overset{O}{\overset{\|}{C}}-Ar$~~.

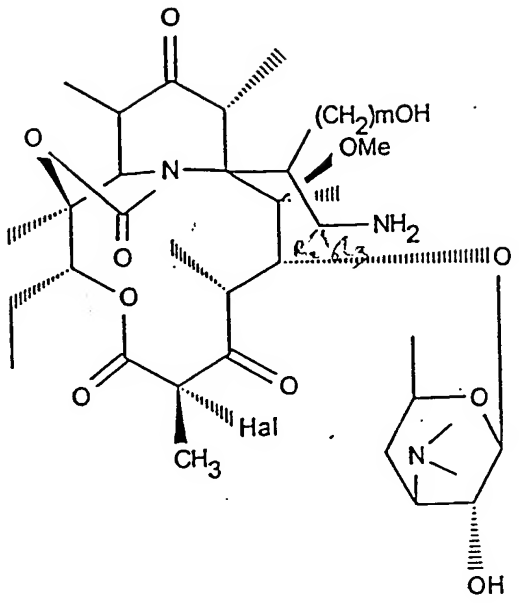
13. A compound selected from the group consisting of


O
(CH2)mOH
OMe
N
NHCH2C6H5
O
O
O
O
O
Hal
CH3
O
N
OM

IV


O
(CH2)mOH
OMe
N
NHCH2C6H5
O
O
O
O
O
Hal
CH3
O
N
OH

V



VI

where the substituents are defined as in claim 12.